COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 149.75 149.96

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:05:31 ON 29 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 29 Jan 2003 VOL 138 ISS 5 FILE LAST UPDATED: 28 Jan 2003 (20030128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 17 L3

=> s l17 and (ru or ruthenium)

L17 NOT FOUND

The L-number entered could not be found. To see the definition of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s 14 and (ru or ruthenium)

54648 RU

69870 RUTHENIUM

L5 3 L4 AND (RU OR RUTHENIUM)

=> d bib abs 1-3

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:778057 CAPLUS

DN 137:294761

TI Chemical bond forming reactions using .alpha.-halocarbonyl compounds and transmetalation reagents.

IN Zhang, Xumu; Lei, Aiwen

PA The Penn State Research Foundation, USA

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

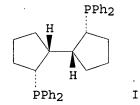
PI WO 2002079339 A2 20021010 WO 2002-US9623 20020329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

```
TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002193543
                            20021219
                                          US 2002-108420 20020329
                      A1
PRAI US 2001-280275P
                            20010330
                       Р
os
     CASREACT 137:294761
ΑB
     A method of forming a chem. bond comprises combining .gtoreq.1
     .alpha.-halocarbonyl compd. with .gtoreq.1 transmetalation reagent
     comprising a target compd., and forming a chem. bond to or within the
     target compd. The transmetalation reagents are formed by the addn. of a
     metal or metal catalyst to a target compd. The target compd. is the
     compd. undergoing chem. bond formation. Bond formation can be carried out
     in both intermol. or intramol. reactions. Thus, reaction of
     3,5-dimethylphenylboronic acid in the presence of Pd2(dba)3.CHCl3,
     rac-BINAP, and KF in dioxane gave 97% 3,3',5,5'-tetramethylbiphenyl.
L5
     ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:753145 CAPLUS
DN
     132:13300
     Catalytic asymmetric hydrogenation and hydroformylation via transition
ΤI
     metal complex catalysts with chiral phosphine or phosphite ligands
IN
     Zhang, Xumu
     The Penn State Research Foundation, USA
PA
SO
     PCT Int. Appl., 111 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 3
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                           -----
                                          -----
     ______
                     _ _ _ _
                                       WO 1999-US10907 19990518
PT
     WO 9959721
                     A1 19991125
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, DE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6207868
                      В1
                            20010327
                                          US 1998-190155
                                                            19981112
     AU 9949521
                      A1
                           19991206
                                          AU 1999-49521
                                                            19990518
     US 6278024
                      В1
                           20010821
                                          US 2000-524787
                                                            20000313
                           20020604
                                          US 2000-685028
     US 6399787
                      B1
                                                            20001010
     US 2001047113
                                          US 2001-878417
                                                            20010612
                           20011129
                      A1
     US 6380416
                      B2
                           20020430
PRAI US 1998-85786P
                      Ρ
                           19980518
     US 1998-90164P
                      Ρ
                           19980622
     US 1997-876120
                      A2
                           19970613
     US 1997-65577P
                      Ρ
                           19971112
     US 1998-190155
                      A3
                           19981112
                      B3
                           19990518
     US 1999-313665
     WO 1999-US10907
                      W
                           19990518
     US 2000-524787
                      Α3
                           20000313
OS
     MARPAT 132:13300
     Transition metal catalysts with conformationally rigid chiral phosphines
AΒ
     and phosphites are developed for asym. C-H and C-C bond formation.
     amines, .beta.-amino acids, and related compds. are synthesized via
     catalytic asym. hydrogenation based on chiral monodentate and bidentate
     phosphines with cyclic ring structures.
RE.CNT 7
             THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
```

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS L5 1999:120265 CAPLUS AN DN 130:281548 ΤI Ru-BICP-Catalyzed asymmetric hydrogenation of aromatic ketones Cao, Ping; Zhang, Xumu ΑU CS Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA Journal of Organic Chemistry (1999), 64(6), 2127-2129 SO CODEN: JOCEAH; ISSN: 0022-3263 PΒ American Chemical Society Journal DT English LA CASREACT 130:281548 os GI



ARu-BICP [BICP = R,R-bis(diphenylphosphino)bicyclopentyl I] catalyst system was prepd. and was effective in the asym. hydrogenation of arom. ketones ArCOMe (Ar = Ph, 2-naphthyl, 2-thienyl, etc.). Thus, hydrogenation of 4-FC6H4COMe in Me2CHOH contg. RuCl2[(R,R)-BICP](TMEDA) [TMEDA = tetramethylethylenediamine], (R,R)-1,2-diphenylethylenediamine, and KOH gave (S)-4-FC6H4CHMeOH with 100% conversion and 74% enantiomeric excess.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs 17 1-5

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 1999:429228 CAPLUS
DN 131:170395
TI Stereoselective preparation of phosphine oxides via a 2,3-sigmatropic shift of allylic diphenylphosphinites
AU Demay, Stephane; Harms, Klaus; Knochel, Paul

```
Fachbereich Chemie der Ludwig Maximilians-Universitat, Munchen, D-81377,
CS
     Germany
     Tetrahedron Letters (1999), 40(27), 4981-4984
so
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier Science Ltd.
DT
     Journal
LA
     English
os
     CASREACT 131:170395
GI
      PPh<sub>2</sub>
   Ι
                          ΙI
     The thermic rearrangement of various chiral or racemic allylic
AΒ
     diphenylphosphinites, e.g. I (n = 0, 1; R = H, Me) to allylic phosphine
     oxides II has been applied for the prepn. of several chiral
     diphosphine oxides of interest for asym. catalysis.
              THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
     ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:325945 CAPLUS
DN
     130:338252
ΤI
     Catalysts for asymmetric syntheses containing rigid chiral ligands
IN
     Zhang, Xumu
PΑ
     The Pennsylvania State University, USA
SO
     PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 3
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
                      ----
                                            -----
     WO 9924443
ΡI
                            19990520
                                            WO 1998-US24037 19981112
                       A2
     WO 9924443
                       A3
                            19990520
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
             MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
             TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6037500
                            20000314
                                           US 1997-876120
                                                              19970613
                       Α
     CA 2309193
                             19990520
                                            CA 1998-2309193 19981112
                       AΑ
     AU 9913982
                       A1
                            19990531
                                            AU 1999-13982
                                                              19981112
```

EP 1030854

IE, FI

A2

20000830

EP 1998-957814

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

19981112

```
BR 9814638
                                          BR 1998-14638
                                                              19981112
                       Α
                             20001003
                                            US 1998-190155
                                                              19981112
     US 6207868
                       В1
                             20010327
                                            JP 2000-520452
     JP 2001522854
                       T2
                             20011120
                                                              19981112
     US 6278024
                       B1
                             20010821
                                            US 2000-524787
                                                              20000313
                             20020604
                                            US 2000-685028
                                                              20001010
     US 6399787
                       B1
                                            US 2001-878417
                                                              20010612
     US 2001047113
                       A1
                             20011129
     US 6380416
                       B2
                             20020430
PRAI US 1997-876120
                       Α
                             19970613
     US 1997-65577P
                       P
                             19971112
     US 1996-19938P
                       Ρ
                             19960614
                       Р
     US 1996-33493P
                            19961220
                       Ρ
     US 1997-46121P
                             19970509
                       Р
     US 1998-85786P
                            19980518
                       P
     US 1998-90164P
                            19980622
     US 1998-190155
                       А3
                            19981112
     WO 1998-US24037
                       W
                             19981112
     US 1999-313665
                       B3
                             19990518
     US 2000-524787
                       Α3
                             20000313
OS
     CASREACT 130:338252
GI
```

Ι

This invention is to develop novel transition metal catalysts for the practical synthesis of important chiral mols. The invention emphasizes asym. catalysis based on chiral bidentate phosphine ligands with cyclic ring structures which could be used to restrict conformational flexibility of the ligands and thus the efficiency of chiral transfer can be enhanced through the ligand rigidity. Thus, reductive coupling of cyclopentanone with Al powder in the presence of HgCl2 catalyst in C6H6 gave 1,1'-dihydroxy-1,1'-dicyclopentyl which on dehydration with POCl3 in pyridine gave 1,1'-dicyclopentyl. Asym. redn. of 1,1'-dicyclopentyl followed by mesylation, phosphination, and sequential deborylation gave title compd., e.g. I. [Rh(COD)2]BF4-I catalyzed asym. hydrogenation of .alpha.-acetamidocinnamic acid gave hydrogenated product up to 96.1% enantiomeric excess depending upon the solvent used.

```
ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
L7
     1998:13970 CAPLUS
AN
DN
     128:102242
     Asymmetric synthesis catalyzed by transition metal complexes with cyclic
ΤI
     chiral ligands
IN
     Zhang, Xumu
PA
     Penn State Research Foundation, USA
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 3
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
```

PI WO 9747633 A1 19971218 WO 1997-US10436 19970613 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

```
DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
                                            CA 1997-2258018
     CA 2258018
                       AA
                             19971218
                                                              19970613
     AU 9733971
                             19980107
                                            AU 1997-33971
                                                              19970613
                       A1
                             19990804
                                            CN 1997-196420
                                                              19970613
     CN 1225095
                       Α
     BR 9709790
                       Α
                             19990810
                                            BR 1997-9790
                                                              19970613
     IL 127397
                       A1
                             20011223
                                            IL 1997-127397
                                                              19970613
     JP 2002513376
                       T2
                            20020508
                                            JP 1998-501886
                                                              19970613
     KR 2000016597
                       Ά
                            20000325
                                            KR 1998-710193
                                                              19981212
PRAI US 1996-19938P
                       Ρ
                             19960614
                       Р
     US 1996-33493P
                             19961220
                       Ρ
                             19970509
     US 1997-46121P
                       W
     WO 1997-US10436
                             19970613
os
     CASREACT 128:102242
GI
```

The present invention relates to rigid chiral ligands useful in making catalysts for asym. synthesis. More particularly, the present invention relates to new monodentate and bidentate cyclic chiral phosphine ligands which are formed into catalysts to provide high selectivity of the enantiomeric structure of the end-product. Thus, asym. hydroboration of 1,1'-dicyclopentene with (+)-monoisopinocamphenylborane [(+)-IpcBH2] followed by oxidn. with H2O2 gave the diol which was converted to chiral diphosphine ligand I. [Rh(COD)2]BF4-catalyzed asym. hydrogenation of .alpha.-acetamidocinnamic acid in the presence of ligand I gave satd. acid II in 96.8% enantiomeric excess.

- L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS
- AN 1997:148799 CAPLUS
- DN 126:211870

Ι

- TI Highly Enantioselective Rh-Catalyzed Hydrogenations with a New Chiral 1,4-Diphosphine Containing a Cyclic Backbone
- AU Zhu, Guoxin; Cao, Ping; Jiang, Qiongzhong; Zhang, Xumu

II

- CS Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
- SO Journal of the American Chemical Society (1997), 119(7), 1799-1800 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English

GI

AB The new bisphosphine I, having all 4 chiral centers R, was prepd. and found to be an excellent ligand for Rh(I)-catalyzed asym. hydrogenation of .alpha.-(acylamino)acrylic acids. The high enantioselectivity achieved with I may stem from its conformational rigidity.

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

Ι

- AN 1977:11412 CAPLUS
- DN 86:11412
- TI Ditertiary (phosphines and arsines) with perfluoro(bi-1-cycloalken-1-yl) bridging groups. Preparation and properties including a solid state structure of a tetracarbonylmolybdenum derivative
- AU Cullen, William R.; Wu, Anthony W.; Davis, Alan R.; Einstein, Frederick W. B.; Hazlett, John D.
- CS Chem. Dep., Univ. British Columbia, Vancouver, BC, Can.
- SO Canadian Journal of Chemistry (1976), 54(18), 2871-8 CODEN: CJCHAG; ISSN: 0008-4042
- DT Journal
- LA English
- GI

The perfluorobi-1-cycloalken-1-yl dichlorides react with arsines and AB phosphines, R2EH, to yield I (n = 2, R2E = (Me)2As, II; n = 2, R2E = (Ph) 2P, III; n = 3, R2E = (Me) 2As, IV; and V, where R = PPh2). Methyl diphenylphosphinate affords V, where R = P(O)Ph2. The ditertiary phosphine III is photochromic in the solid state. It reacts with M(CO)6 (M = Cr, Mo, W) to give (L-L)M(CO)4. Similar compds. are obtained from the ditertiary arsines II and IV. The solid state structure of the Mo(CO)4 deriv. of IV was detd. from 3-dimensional single-crystal data. The compd. crystallizes in the orthorhombic space group Pbcn with a 16.26(1), b 11.55(1), c 13.34(1) .ANG., and there are 4 mols. in the unit cell. The coordinates of the heavy atoms were detd. by vector space methods. All other at. parameters were obtained by full matrix least-squares refinement to a final R factor of 10.1% for 715 reflections. The ligand is chelated to the Mo atom and the resulting 7-membered ring is considerably puckered. The As-Mo-As angle is 89.6(0.2).degree..

## => d bib abs 19 1-2

- L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
- AN 2002:803342 CAPLUS
- TI Highly Enantioselective Ag(I)-Catalyzed [3 + 2] Cycloaddition of Azomethine Ylides
- AU Longmire, James M.; Wang, Bin; Zhang, Xumu

CS Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA

SO Journal of the American Chemical Society (2002), 124(45), 13400-13401 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

GΙ

AB A highly reactive Ag(I)-catalyzed [3 + 2] cycloaddn. of azomethine ylides is founded using AgOAc as the catalytic precursor and phosphines as ligands. Using a new bis-ferrocenyl amide phosphine (FAP) as the ligand, the authors found that high enantioselectivities (up to 97% ee) have been achieved in the [3 + 2] cycloaddn. of azomethine ylides, generated from imines RCH:NCH2CO2Me (R = Ph, 4-MeOC6H4, Me2CH, etc.), with dipolarophiles, e.g. di-Me maleate, Me acrylate, and N-methylmaleimide, giving pyrrolidines I (R = Ph, 1-naphthyl, cyclohexyl, etc.). Up to four stereogenic centers can be established in this multicomponent coupling reaction from readily available materials such as aldehydes, aminoesters, and dipolarophiles.

RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:597876 CAPLUS

DN 135:180880

TI Chiral ferrocene phosphines and their use in asymmetric catalytic reactions

IN Zhang, Xumu

PA The Penn State Research Foundation, USA

SO PCT Int. Appl., 107 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

```
APPLICATION NO. DATE
      PATENT NO.
                            KIND DATE
                                                       -----
                           ----
                                   _____
                            A1 20010816
                                                       WO 2001-US4442
                                                                              20010209
PI
      WO 2001058588
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
                HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
                 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
           SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      US 2002091280
                             A1
                                    20020711
                                                       US 2001-781083
                                                                            20010209
      EP 1257360
                             A1
                                    20021120
                                                       EP 2001-909127
                                                                              20010209
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
```

PRAI US 2000-181448P P 20000210 US 2000-214167P P 20000626 WO 2001-US4442 W 20010209

OS CASREACT 135:180880; MARPAT 135:180880

AB Metal complexes with ferrocene anchored chiral ligands are useful in asym. catalysis, such as hydrogenation and allylic alkylation. Thus, (S,S,S,S)ferrocene amide phosphine was prepd. from (1S,2S) - diaminocyclohexane and chiral carboxyferrocenyl di-Ph phosphine and used in combination with (.eta.3-allyl)PdCl2 to catalysis allylic alkylation between 2-cyclohexenyl acetate and di-Me malonate to give [(1R)-2-cyclohexen-1-yl]propanedioic acid di-Me ester in 61% and 20% ee (R).

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ENTER (DIS), GRA, NOD, BON OR ?:end L1 STRUCTURE CREATED

=> s 11

SAMPLE SEARCH INITIATED 11:46:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

5 ANSWERS

PROJECTED ITERATIONS: 173 TO 747
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s 11 ful

FULL SEARCH INITIATED 11:46:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 274 TO ITERATE

100.0% PROCESSED 274 ITERATIONS 35 ANSWERS

SEARCH TIME: 00.00.01

L3 35 SEA SSS FUL L1

=> d scan

L3 35 ANSWERS REGISTRY COPYRIGHT 2003 ACS

IN 1-Propanone, 1-[3,5-difluoro-4-(phenylmethoxy)phenyl]-2-[4-hydroxy-4-[4(trifluoromethyl)phenyl]-1-piperidinyl]- (9CI)

MF C28 H26 F5 N O3

```
=> s 13
           16 L3
L4
=> s 14 and (ru or ruthenium)
         54648 RU
         69870 RUTHENIUM
            0 L4 AND (RU OR RUTHENIUM)
L5
=> s 14 and diphosph?
         62468 DIPHOSPH?
            0 L4 AND DIPHOSPH?
1.6
=> s 14 and diamin?
       125696 DIAMIN?
            0 L4 AND DIAMIN?
L7
=> s 14 and hydrogena?
        243188 HYDROGENA?
            0 L4 AND HYDROGENA?
L8
=> d bib abs 14 9-16
    ANSWER 9 OF 16 CAPLUS COPYRIGHT 2003 ACS
L4
    1997:377705 CAPLUS
ΑN
     126:343494
DN
     Treatment of tinnitus using (hydroxyphenyl)piperidinylpropanols and
ΤI
     analogs as neuroprotective agents
IN
     Sands, Stephen B.
PΑ
     Pfizer Inc., USA
    Eur. Pat. Appl., 16 pp.
SO
     CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
     -----
                     _ _ _ _
                           _____
                                          -----
    EP 768086
                           19970416
                                          EP 1996-306198
PΙ
                      A1
                                                          19960827
     EP 768086
                           20020925
                     В1
        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    TW 450807
                    В
                           20010821
                                          TW 1996-85107025 19960611
    AT 224714
                      Ε
                           20021015
                                          AT 1996-306198
                                                         19960827
    JP 3038155
                      B2
                           20000508
                                          JP 1996-262343
                                                           19960912
     CA 2185512
                      AA
                           19970316
                                          CA 1996-2185512 19960913
    AU 9665635
                      A1
                           19970320
                                          AU 1996-65635
                                                           19960913
                      B2
    AU 697679
                           19981015
                                          CN 1996-112326
    CN 1149454
                      Α
                           19970514
                                                          19960913
PRAI US 1995-3855P
                      Ρ
                           19950915
OS
    MARPAT 126:343494
```

GI

$$R^{3}$$
 OH  $R^{6}$   $R^{6}$   $R^{1}$   $R^{2}$   $R^{5}$   $R^{6}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{1}$   $R^{2}$   $R^{2}$   $R^{3}$   $R^{6}$   $R^{1}$   $R^{2}$   $R^{2}$   $R^{3}$   $R^{4}$   $R^{6}$   $R^{1}$   $R^{2}$   $R^{2}$   $R^{3}$ 

AB Title compds. I [R1-R4 = H, alkyl, halo, CF3, OH, OR7; R5 = Me, Et; or R2R5 = OCH2 and R1, R3, R4 = H, alkyl, halo, CF3, OH, OR7; R6 = aza(bi)cycloalkyl groups Q1, Q2, or Q3; R7 = Me, Et, Pr, iso-Pr; R8 = Ph (un)substituted by 0-3 of alkyl, halo, CF3; X = O, S, (CH2)n; n = 0-3], and their pharmaceutically acceptable salts, are neuroprotective agents, specifically NMDA antagonists, useful in the treatment of tinnitus (no data). Several compds., notably II, its enantiomer, and their tartrate salts, were prepd. Examples include resolns. of racemates, and a large-scale synthetic prepn.

ΙI

L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2003 ACS

AN 1997:262327 CAPLUS

DN 126:238309

TI Preparation of (1S, 2S)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol methanesulfonate trihydrate as an NMDA antagonist.

IN Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

PA Pfizer Inc., USA; Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KI	KIND DATE APPLICATION NO. DATE												
ΡI	WO 9707098				A1 19970227					WO 1996-IB592 19960620								
		W:	AU,	BG,	ВŔ,	BY,	CA,	CN,	CZ,	HU,	IL,	IS,	JP,	KR,	ΚZ,	LK,	LV,	MX,
			NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	TR,	UA,	US,	UΖ,	VN			
		RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,
			SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG	
	CA	CA 2228752			AA 19970227				CA 1996-2228752 19960620									
	ΑU	9659	084		A1 19970312 B2 19991007					AU 1996-59084 19960620								
	AU	7109	84															
	EP 843661			A1 19980527					EP 1996-916266 19960620									
	ΕP	8436	61		B	1	2002	0327										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,
				LV											•			

```
T2
                          19981013
                                         JP 1996-509083
                                                         19960620
    JP 10510552
                                         CN 1996-195649
                     Α
                                                         19960620
    CN 1198739
                          19981111
                                         RU 1998-102116
    RU 2140910
                     C1
                          19991110
                                                         19960620
                                         JP 1997-509083
    JP 3099072
                     B2
                          20001016
                                                         19960620
    IL 122649
                     A1
                          20010826
                                         IL 1996-122649
                                                         19960620
    AT 215072
                     Ε
                          20020415
                                         AT 1996-916266
                                                          19960620
    ES 2170857
                     Т3
                          20020816
                                         ES 1996-916266
                                                          19960620
                                         NO 1998-574
    NO 9800574
                     Α
                          19980210
                                                         19980210
                     Α
    US 6008233
                          19991228
                                         US 1998-11426
                                                         19980507
                         19990713
                     Α
    BR 9610766
                                         BR 1996-10766
                                                         19980511
PRAI US 1995-2238P
                     Р
                          19950811
                     W
    WO 1996-IB592
                          19960620
    Title compd. (I) was prepd. for treatment of degenerative nervous
AB
    disorders (no data). Thus, 4'-benzyloxypropiophenone (prepn. given) was
    stirred with Br in CH2Cl2 to give 77.6% .alpha.-bromo deriv., which was
    refluxed with 4-hydroxy-4-phenylpiperidine and Et3N in Et0Ac to give 77%
    4-hydroxy-4-phenyl-1-[1-(4-benzyloxybenzoyl)ethyl]piperidine. The latter
    was reduced with NaBH4 in EtOH to give 86.5% threo alc. deriv., which was
    hydrogenolyzed (90%), resolved with D-tartaric acid, converted to the free
    base, and salified with MeSO3H in H2O to give I.
    ANSWER 11 OF 16 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
    1997:97184 CAPLUS
DN
    126:104016
    Preparation of 1-hydroxyphenyl-2-hydroxypiperidinopropanols and analogs as
ΤI
    NMDA antagonists
    Chenard, Bertrand L.; Menniti, Frank S.
ΙN
    Pfizer Inc., USA; Chenard, Bertrand, L.; Menniti, Frank, S.
PΑ
SO
    PCT Int. Appl., 94 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
                    KIND DATE
    PATENT NO.
                                         APPLICATION NO.
                                                        DATE
    ______
                    ----
                                         -----
                                                         -----
                    A2
                                         WO 1995-IB398
PΤ
    WO 9637226
                          19961128
                                                         19950526
    WO 9637226
                    A3
                          19961227
        W: CA, FI, JP, MX, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    CA 2219911
                    AA
                          19961128
                                       CA 1995-2219911 19950526
    EP 828513
                     A2
                          19980318
                                         EP 1995-918111
                                                        19950526
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
    JP 11505828
                     T2
                          19990525
                                       JP 1995-535520
                                                        19950526
    RU 2176145
                     C2
                          20011127
                                         RU 1996-109832
                                                         19950526
    TW 470740
                     В
                          20020101
                                         TW 1996-85105153 19960430
    IL 118328
                    A1
                          20001206
                                         IL 1996-118328 19960520
    NO 9602130
                    A
                          19961127
                                         NO 1996-2130
                                                         19960524
    AU 9654519
                          19961205
                                         AU 1996-54519
                    A1
                                                         19960524
                    B2
    AU 696258
                          19980903
    CN 1159325
                    Α
                          19970917
                                         CN 1996-107556
                                                         19960524
    ZA 9604180
                    Α
                          19971124
                                         ZA 1996-4180
                                                         19960524
    BR 9602485
                                         BR 1996-2485
                     Α
                          19980422
                                                         19960527
    CZ 283979
                     В6
                                         CZ 1996-1524
                          19980715
                                                         19960527
                     B1
    US 6258827
                          20010710
                                         US 1997-930599
                                                         19971010
    FI 9704323
                     Α
                          19971125
                                         FI 1997-4323
                                                         19971125
PRAI HU 1996-1419
                     Α
                          19960524
    CA 1995-2219911
                     Α
                          19950526
    WO 1995-IB398
                     W
                          19950526
```

os

GI

MARPAT 126:104016

$$R^{4}$$
 $R^{6}$ 
 $R^{2}$ 
 $R^{2$ 

AB Title compds. [I; R1-R4 = H, halo, alkyl, alkoxy, etc.; R5 = Me or Et; R2R5 = OCH2; R6 = 4-hydroxy-4-phenylpiperidino, 3-hydroxy-3-phenylpyrrolidino, azabicycloalkyl group Q, etc.; R8 = (un)substituted Ph; Z = bond, O, S, (CH2)1-3] were prepd. as NMDA antagonists (no data). Thus, 3-fluoro-4-triisopropylsilyloxy-.alpha.-bromopropiophenone (prepn. given) was aminated by 4-(4-fluorophenyl)-4-hydroxypiperidine and the product reduced to give, after deprotection, title compd. II.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2003 ACS

AN 1997:70358 CAPLUS

DN 126:157399

TI Method for treating spinal cord trauma with phenolic 2-piperidino-1-alkanols

IN Chenard, Bertrand L.

PA Pfizer Inc., USA

SO U.S., 8 pp., Cont.-in-part of U.S. 5, 455, 250. CODEN: USXXAM

DT Patent

LA English

FAN CNT 2

T. WIA.	CNIZ						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 5594007	Α	19970114	US 1994-195797	19940214		
	US 5455250	Α	19951003	US 1993-119122	19930916		
	US 5654302	Α	19970805	US 1995-418713	19950407		
	US 5696126	A	19971209	US 1995-418718	19950407		
PRAI	US 1991-687273		19910418				
	US 1993-119122		19930916				
	WO 1992-US2131		19920324				
~~	MIDDIE 106 1550	. ~					

OS MARPAT 126:157399

GI For diagram(s), see printed CA Issue.

AB Title compds. I [R = H, C1-6 alkyl, C2-6 alkenyl or alkynyl; X = (substituted) Ph, PhCH2, PhO, C1-3 alkoxy; E completes a substituted piperidino or pyrrolidino ring], useful for blocking N-methyl-D-aspartic acid (NMDA) receptor sitess in a mammal (no data) were prepd. by std. chem. Thus, coupling 4-(morpholinomethyl)benzoic acid with 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanone with EDC and DMAP in CH2Cl2 and then redn. with NaBH4 in EtOH gave racemic I [R = Me, X = 4-(morpholinomethyl)phenyl; ester attached at 4-position; 4-hydroxy-4-phenylpiperidino].

```
ANSWER 13 OF 16 CAPLUS COPYRIGHT 2003 ACS
· L4
     1996:404653 CAPLUS
ΑN
DN
     125:86500
     Preparation of neuroprotective 3-(piperidinyl-1)-chroman-4,7-diol and
ΤI
     1-(4-hydrophenyl)-2-(piperidinyl-1)-alkanol derivatives
IN
     Chenard, Bertrand L.; Butler, Todd W.
PA
     Pfizer Inc., USA
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                             DATE
                                            APPLICATION NO.
                                                              DATE
                             _ _ _ _ _ _ _
                                            _____
                                                              19950518
                             19960229
                                            WO 1995-IB380
PΙ
     WO 9606081
                       A1
         W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                            CA 1995-2197451 19950518
     CA 2197451
                       AA
                             19960229
                                            AU 1995-23511
     AU 9523511
                       A1
                             19960314
                                                              19950518
     AU 684359
                        B2
                             19971211
     EP 777652
                       A1
                             19970611
                                            EP 1995-917443
                                                              19950518
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                            CN 1995-194643
     CN 1155279
                       Α
                             19970723
                                                              19950518
     JP 09509189
                        T2
                             19970916
                                            JP 1995-507895
                                                              19950518
     JP 2888988
                             19990510
                       B2
                                            HU 1997-2051
     HU 77520
                       A2
                             19980528
                                                              19950518
     RU 2139857
                       C1
                                            RU 1997-102362
                             19991020
                                                              19950518
                       A1
                                            IL 1995-114892
                                                              19950810
     IL 114892
                             20000716
     BR 9503694
                                            BR 1995-3694
                       Α
                             19960528
                                                              19950817
     US 6046213
                       А
                             20000404
                                            US 1997-776715
                                                              19970213
     FI 9700664
                       Α
                             19970217
                                            FI 1997-664
                                                              19970217
     NO 9700728
                       Α
                             19970217
                                            NO 1997-728
                                                              19970217
PRAI US 1994-292651
                        Α
                             19940818
     WO 1995-IB380
                        W
                             19950518
OS
     MARPAT 125:86500
GI
```

The title compds. [I; R1-R4 = H, alkyl, halogen, CF3, OH, etc; R5 = Me, ethyl; R6 = (un)substituted piperidino, (un)substituted pyrrolidino, etc.; R2R5 = OCH2; etc.], useful for treating stroke (no data), spinal cord trauma (no data), traumatic brain injury (no data), multiinfarct dementia (no data), CNS degenerative diseases such as Alzheimer's disease (no data), etc. (no data), are prepd. Thus, 3-fluoro-4-trisopropylsilyloxy-.alpha.-bromopropiophenone was reacted with 4-(4-fluorophenyl)-4-hydroxypiperidine, the intermediate reduced with NaBH4, and the free base salified with MeSO3H, producing, (1x,2R)-1-(3-fluoro-4-hydroxyphenyl)-2-[4-(4-fluorophenyl)-4-hydroxypiperidin-1-yl]propan-1-ol mesylate, m.p. 239-241.degree..

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2003 ACS AN 1995:699265 CAPLUS

Т

DN 123:285708 (1S, 2S) -1-(4-Hydroxyphenyl) -2-(4-hydroxy-4-phenylpiperidino) -1-propanol: A TIPotent New Neuroprotectant Which Blocks N-Methyl-D-Aspartate Responses Chenard, B. L.; Bordner, J.; Butler, T. W.; Chambers, L. K.; Collins, M. ΑU A.; De Costa, D. L.; Ducat, M. F.; Dumont, M. L.; Fox, C. B.; et al. Central Research Division, Pfizer Inc., Groton, CT, 06340, USA CS Journal of Medicinal Chemistry (1995), 38(16), 3138-45 SO CODEN: JMCMAR; ISSN: 0022-2623 PB American Chemical Society DTJournal LΑ English AB (+)-4-Hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-1piperidinethanol (CP-101,606) was identified as a potent and selective N-methyl-D-aspartate (NMDA) antagonist through a structure activity relation (SAR) program based on ifenprodil, a known antihypertensive agent with NMDA antagonist activity. Sites on the threo-ifenprodil skeleton explored in this report include the pendent Me group (H, Me, and Et nearly equipotent; Pr much weaker), the spacer group connecting the C-4 Ph group to the piperidine ring (an alternating potency pattern with 0 and 2 carbon atoms yielding the greatest potency), and simple Ph substitution (little effect). While potent NMDA antagonists were obtained with a two atom spacer, this arrangement also increased .alpha.1 adrenergic affinity. Introduction of a hydroxyl group into the C-4 position on the piperidine ring resulted in substantial redn. in .alpha.1 adrenergic affinity. The combination of these observations was instrumental in the discovery of CP-101,606 . This compd. potently protects cultured hippocampal neurons from glutamate toxicity (IC50 = 10 nM) while possessing little of the undesired .alpha.1 adrenergic affinity (IC50 .apprx. 20 .mu.M) of ifenprodil. Furthermore, CP-101,606 appears to lack the psychomotor stimulant effects of nonselective competitive and channel-blocking NMDA antagonists. Thus, CP-101,606 shows great promise as a neuroprotective agent and may lack the side effects of compds. currently in clin. trials.

```
L4
    ANSWER 15 OF 16 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1993:495538 CAPLUS
DN
     119:95538
ΤI
    Prodrug esters of phenolic 2-piperidino-1-alkanols
     Chenard, Bertrand L.
IN
PA
     Pfizer Inc., USA
SO
    PCT Int. Appl., 47 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 2
```

	PAT	CENT 1	NO.		KI	ND	DATE			AI	PLIC	DATE				
PI	WO	0 9218502			A.	1	1992		WO 1992-US2131 1992032							
		W:	AU,	BR,	CA,	CS,	DE,	FΙ,	HU,	JP,	KR,	NO,	PL,	RU,	US	
		RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LU,	MC,	NL,	SE
	CA	2108	A	A	1992	1019		CI	1992	0324						
	ΑU	9217	A.	1	1992	1117		JΑ	J 199	92-1	7839		1992	0324		
	ΑU	654554			B2 19941110											
	JP	06501022			T	2	19940127			JI	199	92-50	0996	1	1992	0324
	JP	07088355			B4 19950927											
	EP	584192			A1 19940302				E	199	1	19920324				
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE
	HU	6583	6		A:	2	1994	0728		JН	J 199	93-29	928		1992	0324
	BR	9205893			Α		1994	1108		BF	199	92-58	893		1992	0324
	CN	1065	866		Α		19921104			CN 1992-102845					1992	0416
	$z_{A}$	9202811			Α		1993	1018		$\mathbf{z}_{I}$	199	92-28	811		1992	0416
	US	5455250			Α		1995	1003		US	93-1:	1912:	2	1993	0916	
	NO	9303	723		Α		1993	1015		NC	199	<del>3</del> 3-3'	723		1993	1015
PRAI	US	1991	-6872	273			1991	0418								

```
WO 1992-US2131 19920324
```

- OS MARPAT 119:95538
- GI For diagram(s), see printed CA Issue.
- Title compds. I [E = (CH2)2CY2Y3(CH2)2, (CH2)2CY2Y3CH2, CH2CH2CY9:CHCH2; R = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; X = Ph, CH2Ph, C1-3 alkoxy, OPh, aforementioned group substituted by R1R2N(CH2)p; p = 1, 2; R1,R2 = H, C1-6 alkyl or NR1R2 = pyrrolidinyl, piperidinyl, or morpholinyl ring, aforementioned ring substituted by C1-3 alkyl; Y2Y3 = Q1 or Y2 = OH and Y3 = Q2; Y9 = Q2; n = 0-3; m = 0-4; Q = S, CH:CH; X1 = H, C1-3 alkyl, C1-3 alkoxy, halo] and related compds. are prodrugs useful in the treatment of stroke, traumatic head injury and CNS degenerative disease (no data). Thus, esterification of 4-(morpholinomethyl)benzoic acid by 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanone in CH2lCl2 contg. 4-Me2NC5H4N and EtN:C:N(CH2)3NMe2.HCl, followed by NaBH4 redn. of the intermediate ketone gave title compd. II as a mixt. of isomers.

```
L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2003 ACS
```

AN 1991:408584 CAPLUS

- DN 115:8584
- TI Preparation of 2-piperidino-1-alkanol derivatives as antiischemic agents
- IN Chenard, Bertrand Leo
- PA Pfizer Inc., USA
- SO Eur. Pat. Appl., 48 pp.

CODEN: EPXXDW

- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
DI	TD 200570		10001100	TD 1000 204075 10000500
ΡI		A2		EP 1990-304975 19900509
				FR, GB, GR, IT, LI, LU, NL, SE
	SK 279476	В6	19981104	
	CZ 284342	B6	19981014	CZ 1990-2328 19900511
	US 5185343	Α	19930209	US 1991-784446 19911023
	US 5272160	A	19931221	US 1992-932844 19920820
	US 5338754	Α	19940816	US 1993-96913 19930723
	US 5391742	Α	19950221	US 1994-228466 19940415
	US 5710168	A	19980120	US 1994-336639 19941109
	US 5527912	Α	19960618	US 1995-411030 19950327
PRAI	WO 1989-US2176	Α	19890517	
	WO 1990-US292	Α	19900116	
	US 1991-784446	A3	19911023	
	US 1992-932844	<b>A</b> 3	19920820	
	US 1993-96913	<b>A</b> 3	19930723	
	US 1994-228466	A2	19940415	
	US 1994-336639	A3	19941109	
OS GI	MARPAT 115:8584			

AB The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y =H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prepd. A mixt. of piperidine deriv. II, p-(Me2CH)3SiOC6H4COCHBrMe, and Et3N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH4 to give 89% mixt. of (1R\*,2S\*) - and (1S\*,2S\*) -I [R = Me, X = 4-(Me2CH)3SiO, YY1 = PhCH, Q = CH:CH] (IV). Hydrolysis of IV with Bu4N+ F- in THF at room temp. gave the mixt. phenolic alc. (1S\*,2S\*) - and (1R\*,2S\*) -I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prepd. were 75 addnl. I and intermediates.

## => d bib 14 1-8

ANSWER 1 OF 16 CAPLUS COPYRIGHT 2003 ACS L4

ΑN 2002:314393 CAPLUS

DN 136:325428

Preparation of 1-(hydroxyphenyl)-2-(phenylpiperidinyl)-1-propanol NMDA тT NR2B antagonists for treating depression and neurodegenerative disorders

Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David IN

Pfizer Products Inc., USA PA

SO Eur. Pat. Appl., 17 pp. CODEN: EPXXDW

DTPatent

LA English

FAN.	CNT	1																
	PATENT NO.					KIND DATE				APPLICATION NO.								
PΙ	EP 1199068			A2 20020424			EP 2001-308295						20010928					
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	AU 2001077304			A5 20020411					AU 2001-77304					20010928				
	JP 2002161052		A:	2	20020604			JI	20	001-306254			2001	11002				
	US	2002	0725	38	A.	1	2002	0613		US	20	01-9	6931′	7	20013	1002		
PRAI	US	2000	-237	770P	P		2000	1002										
os	MAI	RPAT	136:	3254:	28													

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2003 ACS

AN 2002:314392 CAPLUS

DN 136:319415

ΤI N-methyl-D-aspartate antagonists for prophylactic and treatment in a mammal of neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain

IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David; Schneider, Erika

PA Pfizer Products Inc., USA

```
SO
    Eur. Pat. Appl., 20 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
     ---- ----
                         -----
                                        -----
                                       EP 2001-308289
    EP 1199067
                    A2
                         20020424
                                                       20010928
PΤ
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                  US 2001-969354
    US 2002072485 A1 20020613
                                                       20011002
    JP 2002322092
                    A2 20021108
                                       JP 2001-306332 20011002
PRAI US 2000-237324P P 20001002
os
   MARPAT 136:319415
T.4
    ANSWER 3 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    2002:183752 CAPLUS
DN
    136:241682
    Pharmaceutical combinations for the treatment of stroke and traumatic
    brain injury
    Chenard, Bertrand Leo; Saltarelli, Mario David; Menniti, Frank Samuel
IN
PA
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 25 pp.
    CODEN: EPXXDW
\mathbf{DT}
    Patent
LA
    English
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
                    ____
     ------
                         -----
                                       -----
    EP 1186304 A2 20020313 EP 2001-307521
                                                       20010904
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
    US 2002123510 A1
                                       US 2001-947878
                          20020905
                                                       20010906
                    A2
    JP 2002322096
                         20021108
                                       JP 2001-270308 20010906
PRAI US 2000-230943P P
                         20000906
    MARPAT 136:241682
    ANSWER 4 OF 16 CAPLUS COPYRIGHT 2003 ACS
T.4
AN
    2002:183751 CAPLUS
DN
    136:226803
ΤI
    Pharmaceutical combinations, for the treatment of stroke and traumatic
    brain injury, containing a neutrophil inhibiting factor and an selective
    NMDA-NR2B receptor antagonist
IN
    Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David
PΑ
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 28 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                  KIND DATE
                                      APPLICATION NO. DATE
    -----
                                       -----
    EP 1186303
                                       EP 2001-307246
PΙ
                    A2
                         20020313
                                                       20010824
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                  Α
                                       BR 2001-3888
    BR 2001003888
                         20020604
                                                       20010905
                                       US 2001-947652
    US 2002045656
                    A1
                         20020418
                                                       20010906
    JP 2002322095
                     A2 20021108
                                       JP 2001-270196 20010906
PRAI US 2000-230944P P
                         20000906
os
    MARPAT 136:226803
L4
    ANSWER 5 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    2001:796279 CAPLUS
```

```
DN
    135:331349
    Process for the preparation of the mesylate salt trihydrate of
TI
    1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol and
    its intermediates
    Rainville, Joseph Philip; Sinay, Terry Gene, Jr.; Walinsky, Stanley Walter
IN
PA
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 15 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
    -----
                                       -----
    EP 1149831
PТ
                   A1 20011031
                                      EP 2001-303713 20010424
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                   A1
    US 2002016466
                         20020207
                                       US 2001-840668
                                                       20010423
                    AA 20011028
    CA 2345286
                                       CA 2001-2345286 20010426
    BR 2001001611
                   A 20020115
                                       BR 2001-1611
                                                       20010426
    CN 1322716
                        20011121
                                       CN 2001-117154
                    Α
                                                       20010427
    JP 2001354650
                    A2 20011225
                                       JP 2001-130684
                                                       20010427
PRAI US 2000-200417P P
                         20000428
   CASREACT 135:331349; MARPAT 135:331349
RE.CNT 2
            THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 6 OF 16 CAPLUS COPYRIGHT 2003 ACS
L4
    2001:508068 CAPLUS
ΑN
    135:87188
DN
ΤI
    Method using a NR2B-selective NMDA antagonist for treating acute, chronic
    and/or neuropathic pain
IN
    Menniti, Frank S.; Chenard, Bertrand L.; Saltarelli, Mario D.; Parker,
    Jonathon M.
PΑ
    USA
SO
    U.S. Pat. Appl. Publ., 14 pp.
    CODEN: USXXCO
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
               KIND DATE
                                       APPLICATION NO. DATE
                                       -----
    -----
                   ----
    US 2001007872
                         20010712
                                       US 1999-397891 19990917
_{\rm PI}
                   A1
PRAI US 1998-102630P P
                        19981001
os
    MARPAT 135:87188
L4
    ANSWER 7 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    1998:118605 CAPLUS
DN
    128:167356
    Preparation of phenylpiperidinylpropanols as neuroprotectants for
TI
    treatment of tinnitus.
IN
    Sands, Steven B.
PA
    Pfizer Inc., USA
SO
    U.S., 10 pp.
    CODEN: USXXAM
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
    -----
                                       -----
PΙ
    US 5716961
                     Α
                         19980210
                                       US 1996-709996 19960909
PRAI US 1996-709996
                         19960909
os
   MARPAT 128:167356
```